CLAIMS

We claim:

1. A compound having the formula (I):

and salts thereof;

wherein R is:

wherein X and X" are independently selected from C=O, C=S, C=NH, C=NR $^{\rm X}$, S=O or SO₂;

wherein n is 0 or 1;

wherein R^X is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

wherein B is $X"R^Y$, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; and

 $wherein \ R^Y is \ selected \ from \ hydrido, \ alkyl, \ alkenyl, \ alkynyl, \ aryl, \\ heteroaryl, \ cycloalkyl, \ heterocyclyl \ or \ hydroxyl;$

wherein A is H, NH2, NHR^A, NR^AR^B, heteroaryl, cycloalkyl or heterocyclyl;

 $\label{eq:wherein RA} \mbox{ and } \mbox{R}^{\mbox{\tiny B}} \mbox{ are independently selected from alkyl, alkenyl,} \\ \mbox{ alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;} \\$

wherein when n is 0, then A is additionally selected from:

$$- \begin{cases} 0 \\ -P \\ OR^{50} \end{cases} - \begin{cases} 0 \\ -P \\ R^{52} \end{cases} \text{ and } - \begin{cases} 0 \\ -P \\ R^{50} \end{cases} OR^{50}$$

wherein each R^{50} - R^{53} is independently selected from $(C_1$ - $C_{15})$ alkyl; provided that when B is H and X is C=O, then A is other than

(a) a pyridinyl ring substituted with a single NHC(O)R^D substitutent

or

(b) a $(C_5$ - $C_6)$ saturated cycloalkyl ring substituted with a single NHC(O)R^D substitutent, wherein R^D is $(C_1$ - $C_{17})$ unsubstituted alkyl or $(C_2$ - $C_{17})$ unsubstituted alkenyl; and

when B is H and n is 0, then A is not H;

wherein R1 is

wherein X' and X''' are independently selected from C=O, C=S, C=NH, C=NR $^{X'}$, S=O or SO₂;

wherein m is 0 or 1;

wherein R^{X'} is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

wherein B' is $X'''R^{Y'}$, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl;

 $\label{eq:wherein RY'} wherein \, R^{Y'} is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;$

wherein A' is H, NH₂, NHR^{A'}, NR^{A'}R^{B'}, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl or heterocyclyl;

 $\label{eq:wherein R^A'} \mbox{ and } R^{B'} \mbox{ are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;}$

wherein when m is 0, then A' is additionally selected from:

$$- \left\{ \begin{array}{c} O \\ \parallel \\ -P \\ OR^{50} \end{array} \right. \quad \left\{ \begin{array}{c} O \\ \parallel \\ -P \\ R^{53} \end{array} \right. \quad \text{and} \quad \left\{ \begin{array}{c} O \\ \parallel \\ -P \\ -QR^{50} \end{array} \right.$$

wherein each of R^{50} - R^{53} is independently selected from C_1 - C_{15} alkyl; alternatively, wherein B' and A' together form a 5-7 membered heterocyclic or heteroaryl ring;

wherein R² is

wherein K and K' together form a C_3 - C_7 cycloalkyl or heterocyclyl ring or a C_5 - C_{10} aryl or heteroaryl ring;

wherein J is selected from the group consisting of hydrido, amino, NHR^J, NR^JR^K, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl, heterocyclyl, alkylamino, hydroxyl, thio, alkylthio, alkenylthio, sulfinyl, sulfonyl, azido, cyano, halo,

$$\longrightarrow NR^{24}R^{25} \qquad \text{and} \qquad \longrightarrow OR^{26}$$

wherein each of R²⁴, R²⁵, and R²⁶ is independently selected from the group consisting of alkyl, cycloalkyl, heterocyclyl, aryl and heteroaryl; or R²⁴ and R²⁵ together form a 5-8 membered heterocyclyl ring;

wherein R^J and R^K are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; or

alternatively, wherein J, together with R¹⁷, forms a 5-8 membered heterocyclyl or cycloalkyl ring; or

alternatively, wherein J, together with both R^{17} and R^{18} , forms a 5-8 membered aryl, cycloalkyl, heterocyclyl or heteroaryl ring; and

wherein each of R¹⁷ and R¹⁸ is independently selected from the group consisting of hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl and

wherein R¹⁷ and R¹⁸ taken together can form a group consisting of ketal, thioketal,

wherein each of R^{22} and R^{23} is independently selected from the group consisting of hydrido and alkyl.

2. A compound having the formula (I):

and salts thereof;

wherein R is:

wherein X and X" are independently selected from C=O, C=S, C=NH, C=NR $^{\rm X}$, S=O or SO₂;

wherein n is 0 or 1;

wherein R^X is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

wherein B is $X"R^Y$, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl, and

 $\label{eq:wherein RY} wherein \ R^Y is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;$

wherein A is aryl;

provided that when B is H and X is C=O, then A is other than a phenyl ring substituted with either:

(a) -O-((C_8 - C_{15}) unsubstituted alkyl), wherein said phenyl ring may be further optionally substituted with one substituent selected from halo, nitro, (C_1 - C_3) alkyl, hydroxyl, (C_1 - C_3) alkoxy or (C_1 - C_3) alkylthio; or

(b) $-NHC(O)R^D$, wherein the phenyl ring may be further optionally substituted with 1-2 substituents independently selected from amino, nitro, (C_1-C_3) alkyl, hydroxyl, (C_1-C_3) alkoxy, halo, mercapto, (C_1-C_3) alkylthio, carbamyl or (C_1-C_3) alkylcarbamyl, wherein R^D is (C_1-C_{17}) unsubstituted alkyl or (C_2-C_{17}) unsubstituted alkenyl;

wherein R¹ is

wherein X' and X''' are independently selected from C=O, C=S, C=NH, C=NR $^{X'}$, S=O or SO₂;

wherein m is 0 or 1;

wherein R^{X'} is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

wherein B' is $X'''R^{Y'}$, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein R^{Y'} is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein A' is H, NH₂, NHR^{A'}, NR^{A'}R^{B'}, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein when m is 0, then A' is additionally selected from:

$$- \left. \begin{array}{c} O \\ \parallel \\ P \\ OR^{50} \end{array} \right. \quad \left. \begin{array}{c} O \\ \parallel \\ P \\ R^{53} \end{array} \right. \quad \text{and} \quad \left. \begin{array}{c} O \\ \parallel \\ P \\ R^{53} \end{array} \right. \quad \text{oR}^{50}$$

wherein each of R⁵⁰-R⁵³ is independently selected from C₁-C₁₅ alkyl;

alternatively, wherein B' and A' together form a 5-7 membered heterocyclic or heteroaryl ring;

wherein R² is

wherein K and K' together form a C_3 - C_7 cycloalkyl or heterocyclyl ring or a C_5 - C_{10} aryl or heteroaryl ring;

wherein J is selected from the group consisting of hydrido, amino, NHR^J, NR^JR^K, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl, heterocyclyl, alkylamino, hydroxyl, thio, alkylthio, alkenylthio, sulfinyl, sulfonyl, azido, cyano, halo,

wherein each of R^{24} , R25, and R26 is independently selected from the group consisting of alkyl, cycloalkyl, heterocyclyl, aryl and heteroaryl; or R^{24} and R^{25} together form a 5-8 membered heterocyclyl ring;

wherein R^J and R^K are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; or

alternatively, wherein J, together with R^{17} , forms a 5-8 membered heterocyclyl or cycloalkyl ring; or

alternatively, wherein J, together with both R¹⁷ and R¹⁸, forms a 5-8 membered aryl, cycloalkyl, heterocyclyl or heteroaryl ring; and

wherein each of R¹⁷ and R¹⁸ is independently selected from the group consisting of hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl and

wherein R^{17} and R^{18} taken together can form a group consisting of ketal, thicketal,

wherein each of R^{22} and R^{23} is independently selected from the group consisting of hydrido and alkyl.

3. A compound having the formula (I):

and salts thereof;

wherein R is:

wherein X and X" are independently selected from C=O, C=S, C=NH, C=NR^X, S=O or SO₂;

wherein n is 0 or 1;

wherein R^X is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

wherein B is $X''R^Y$, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; and

wherein R^Y is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein A is alkyl, alkenyl, alkynyl, alkoxy or aryloxy; provided that when B is H and X is C=O, then A is other than

- (a) $-(C_1-C_{16} \text{ unsubstituted alkyl})-NH_2$;
- (b) $-(C_1-C_{10} \text{ unsubstituted alkyl})-\text{NHC}(O)R^D$, wherein R^D is (C_1-C_{17}) unsubstituted alkyl or (C_2-C_{17}) unsubstituted alkenyl;
- (c) $-(C_1-C_{18})$ -alkyl, optionally substituted with up to one hydroxyl, carboxyl, or C_1-C_3 alkoxy, or one to three halo substituents;
- (d) $-(C_4-C_{18})$ -unsubstituted alkenyl;

wherein R^{54} is selected from C_1 - C_{17} - unsubstituted alkyl or C_2 - C_{17} unsubstituted alkenyl; wherein R^{55} is selected from hydroxyethyl, hydroxymethyl,
mercaptomethyl, mercaptoethyl, methylthioethyl, 2-thienyl, 3-indolemethyl, phenyl
optionally substituted with a group selected from halo, nitro, C_1 - C_3 -unsubstituted
alkyl, hydroxy, C_1 - C_3 -unsubstituted alkoxy, C_1 - C_3 -unsubstituted alkylthio, carbamyl
or C_1 - C_3 unsubstituted alkylcarbamyl; or benzyl optionally substituted with a group

selected from halo, nitro, C_1 - C_3 -unsubstituted alkyl, hydroxy, C_1 - C_3 -unsubstituted alkylthio, carbamyl or C_1 - C_3 unsubstituted alkylcarbamyl; wherein t is 0 or 1 and wherein u is an integer from 1-3; and

when B is H and X is C=O, then X, together with A, does not form a carbamate amino protecting group; and

when B is H and n is 0, then A is other than C_4 - C_{14} unsubstituted alkyl; wherein R^1 is

wherein X' and X"' are independently selected from C=O, C=S, C=NH, C=NR $^{X'}$, S=O or SO₂;

wherein m is 0 or 1;

wherein R^{X'} is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

wherein B' is $X'''R^{Y'}$, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein $R^{Y'}$ is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein A' is H, NH₂, NHR^{A'}, NR^{A'}R^{B'}, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein $R^{A'}$ and $R^{B'}$ are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;

wherein when m is 0, then A' is additionally selected from:

$$- \begin{cases} 0 \\ -P \\ OR^{50} \end{cases} - \begin{cases} 0 \\ -P \\ R^{52} \end{cases} \text{ and } - \begin{cases} 0 \\ -P \\ OR^{50} \end{cases}$$

wherein each of R^{50} - R^{53} is independently selected from C_1 - C_{15} alkyl; alternatively, wherein B' and A' together form a 5-7 membered heterocyclic or heteroaryl ring;

wherein R² is

wherein K and K' together form a C_3 - C_7 cycloalkyl or heterocyclyl ring or a C_5 - C_{10} aryl or heteroaryl ring;

wherein J is selected from the group consisting of hydrido, amino, NHR^J, NR^JR^K, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl, heterocyclyl, alkylamino, hydroxyl, thio, alkylthio, alkenylthio, sulfinyl, sulfonyl, azido, cyano, halo,

wherein each of R^{24} , R^{25} , and R^{26} is independently selected from the group consisting of alkyl, cycloalkyl, heterocyclyl, aryl and heteroaryl; or R^{24} and R^{25} together form a 5-8 membered heterocyclyl ring;

wherein R^J and R^K are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; or

alternatively, wherein J, together with R¹⁷, forms a 5-8 membered heterocyclyl or cycloalkyl ring; or

alternatively, wherein J, together with both R^{17} and R^{18} , forms a 5-8 membered aryl, cycloalkyl, heterocyclyl or heteroaryl ring; and

wherein each of R^{17} and R^{18} is independently selected from the group consisting of hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl and

$$- \begin{cases} - \text{NOR}^{22} \\ \text{; or} \end{cases}$$

wherein R^{17} and R^{18} taken together can form a group consisting of ketal, thioketal,

wherein each of R^{22} and R^{23} is independently selected from the group consisting of hydrido and alkyl.

4. A compound having the formula (I):

and salts thereof;

wherein R is:

wherein X and X" are independently selected from C=O, C=S, C=NH, C=NR $^{\rm X}$, S=O or SO₂;

wherein n is 0 or 1:

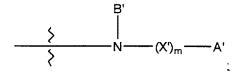
wherein R^X is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

wherein B is $X''R^Y$, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; and

wherein RY is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein B and A together form a 5-7 membered heterocyclic or heteroaryl ring;

wherein R¹ is



wherein X' and X''' are independently selected from C=O, C=S, C=NH, C=NR $^{X'}$, S=O or SO₂;

wherein m is 0 or 1;

wherein R^{X'} is selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy;

 $\label{eq:wherein B'} wherein B' is X"'R^{Y'}\!,\,H,\,alkyl,\,alkenyl,\,alkynyl,\,aryl,\,heteroaryl,\,cycloalkyl or\,heterocyclyl;$

wherein R^{Y'} is selected from hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl;

wherein A' is H, NH₂, NHR^{A'}, NR^{A'}R^{B'}, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl or heterocyclyl;

wherein R^{A'} and R^{B'} are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy;

wherein when m is 0, then A' is additionally selected from:

wherein each of R^{50} - R^{53} is independently selected from C_1 - C_{15} alkyl; alternatively, wherein B' and A' together form a 5-7 membered heterocyclic or heteroaryl ring;

wherein R² is

wherein K and K' together form a C_3 - C_7 cycloalkyl or heterocyclyl ring or a C_5 - C_{10} aryl or heteroaryl ring;

wherein J is selected from the group consisting of hydrido, amino, NHR^J, NR^JR^K, alkyl, alkenyl, alkynyl, alkoxy, aryloxy, aryl, heteroaryl, cycloalkyl, heterocyclyl, alkylamino, hydroxyl, thio, alkylthio, alkenylthio, sulfinyl, sulfonyl, azido, cyano, halo,

$$\longrightarrow S \qquad \text{and} \qquad \longrightarrow S \qquad OR^{26}$$

wherein each of R^{24} , R^{25} , and R^{26} is independently selected from the group consisting of alkyl, cycloalkyl, heterocyclyl, aryl and heteroaryl; or R^{24} and R^{25} together form a 5-8 membered heterocyclyl ring;

wherein R^J and R^K are independently selected from alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; or

alternatively, wherein J, together with R^{17} , forms a 5-8 membered heterocyclyl or cycloalkyl ring; or

alternatively, wherein J, together with both R^{17} and R^{18} , forms a 5-8 membered aryl, cycloalkyl, heterocyclyl or heteroaryl ring; and

wherein each of R¹⁷ and R¹⁸ is independently selected from the group consisting of hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl and

wherein R¹⁷ and R¹⁸ taken together can form a group consisting of ketal, thioketal,

wherein each of R^{22} and R^{23} is independently selected from the group consisting of hydrido and alkyl.

5. The compound according to any of claims 1-4, wherein R is selected from the group consisting of:

wherein each of R^3 , R^4 R^5 , and R^6 is independently selected from the group consisting of hydrido, alkyl, aryl, heterocyclyl and heteroaryl, and wherein R^{44} is selected from the group consisting of alkyl, aryl, heterocyclyl and heteroaryl.

6. The compound according to claim 5, wherein R is selected from

wherein R^{4} is selected from the group consisting of alkyl, aryl-substituted alkyl, substituted phenyl, heteroaryl, heterocyclyl, optionally substituted (C_8 - C_{14})-straight

chain alkyl and
$$\mathbb{R}^7$$
; wherein \mathbb{R}^7 is an alkyl group.

7. The compound according to claim 6, wherein R is selected from the group consisting of

$$R^3$$
 (C_8 - C_{13})-straight-chain alkyl (C_8 - C_8 - C_{13})-straight-chain alkyl (C_8 - C

wherein X^3 is chloro or trifluoromethyl and wherein q is 0 or 1.

8. The compound according to any of claims 1-4, wherein R¹ is selected from the group consisting of:

wherein R⁸ is selected from a natural amino acid side chain or an amino acid side chain that is not naturally occurring;

wherein each of R^9 , R^{10} and R^{11} is selected from hydrido, alkyl, aryl, heterocyclyl and heteroaryl;

wherein R^{12} is selected from the group consisiting of heterocyclyl, heteroaryl, aryl, and alkyl and

wherein R^{13} is selected from (C₁-C₃-alkyl) and aryl.

9. The compound according to claim 8, wherein $R^{\rm I}$ is selected from the group consisting of:

$$R^{12}$$
, R^{8}

wherein R^8 is selected from tryptophan side chain and lysine side chain;

wherein each of R^{10} and R^{11} is independently selected from hydrido and alkyl;

wherein R¹² is selected from imidazolyl, N-methylimidazolyl, indolyl, quinolinyl, benzyloxybenzyl, and benzylpiperidenylbenzyl; and wherein X is selected from fluoro, and trifluoromethyl.

10. The compound according to any of claims 1-4, wherein J is selected from the group consisting of hydrido, amino, azido and

wherein R¹⁷ and R¹⁸ taken together form a group selected from ketal,

$$= \begin{cases} = 0 & \text{and} & = \end{cases} = NOR^{22}$$

or wherein R¹⁷ is hydroxyl when R¹⁸ is hydrido; or wherein J, together with R¹⁷, forms a heterocyclyl ring.

11. The compound according to claim 10, wherein \mathbb{R}^2 is selected from the group consisting of

wherein R¹⁷ and R¹⁸ taken together form a group selected from

$$= \begin{cases} = \\ = \\ = \end{cases}$$
 and
$$= \begin{cases} = \\ = \\ = \\ = \end{cases}$$

 $\mbox{$\ $\ $}$, wherein R^{22} is selected from the group consisting of H and alkyl; and wherein R^{19} is selected from the group consisting of

12. The compound according to claim 11, wherein R² is

13. The compound according to any one of claims 1-4 wherein said compound is selected from

| Cpd # | R | R^1 | R ² |
|----------|--|-----------------------------------|-----------------|
| 1 | NHCONH(CH ₂) ₇ CH ₃ | NH ₂ | NH ² |
| 2 | NHCONH(CH ₂) ₁₁ CH ₃ | NH ₂ | O NH2 |
| 3 | NHCONH(CH ₂) ₁₀ CH ₃ | O HN NH ₂ NH | O ZÉ |
| 5 | HN CI | O NH ₂ NH ₂ | NH2 |
| 6 | O NH ₂ NH ₂ | O NH ₂ NH ₂ | O NH2 |

| 7 | NH(CH ₂) ₈ CH ₃ | HN NH ₂ NH | O NH ₂ |
|----|---|------------------------------------|-------------------|
| 8 | NHCO(CH ₂) ₈ CO ₂ CH ₃ | O HN NH ₂ NH | O NH ₂ |
| 9 | NHCO(CH ₂) ₆ CO ₂ CH ₃ | NH ₂ NH ₂ | O NH ₂ |
| 10 | NHCO(CH₂) ₆ NHBoc | HN NHBoc NH | O NH ₂ |
| 11 | NHCO(CH ₂) ₇ NHBoc | HN NHBoc NH | O NH ₂ |
| 12 | NHCO(CH ₂) ₁₀ NHBoc | HN NHBoc NH | O NH ₂ |
| 13 | NHCO(CH ₂) ₁₁ NHBoc | HN NHBoc N | O NH ₂ |
| 17 | NHCONH(CH ₂) ₁₁ CH ₃ | HN NH ₂ NH | O NH ₂ |
| 18 | HN CI | NH ₂ | O NH2 |
| 19 | HN S | NH ₂ | O NH ₂ |
| 20 | HN CI | HN NH ₂ NH | O NH ₂ |
| 21 | HN CI CI | HN NH ₂ | O NH ₂ |
| 22 | HN OPh | HN NH ₂ NH | 0 NH ₂ |
| 23 | HN O O Bu | HN NH ₂ NH ₂ | O NH ₂ |
| 24 | HN CI | HN NH ₂ NH ₂ | O NH2 |

| 25 | HN CI | HN NH ₂ | O NH2 |
|----|--|--------------------------|-------------------|
| 34 | HN CI | NBoc HN NHBoc | O NH2 |
| 35 | HN N=N N-NnHeptyl | HN NH ₂ NH | O NH ₂ |
| 36 | HN N- NnHeptyl | HN NHBoc N | O NH ₂ |
| 40 | HN N CI | NH ₂ | O NH2 |
| 41 | HN N CI | NHBoc | O NH2 |
| 43 | HN NH | NHBoc | O NH ₂ |
| 44 | O CI | NHBoc | O NH ₂ |
| 48 | NHCONH(CH ₂) ₁₀ CH ₃ | NH ₂ | O NH2 |
| 49 | HN S CI | NH ₂ | O NH2 |
| 50 | HN CI | NH HN NH ₂ | O NH2 |
| 56 | NHCONH(CH ₂) ₇ CH ₃ | NHBoc NHBoc | O NH2 |
| 57 | NHCONH(CH ₂) ₁₀ CH ₃ | NHBoc NHBoc | O NH2 |
| 58 | NHCONH(CH ₂) ₁₁ CH ₃ | O HN NHBoc | O NH2 |
| 62 | NHCONH(CH ₂) ₇ CH ₃ | NH ₂ | O NH2 |
| 63 | NHCONH(CH ₂) ₁₀ CH ₃ | HN NH ₂ | O NH2 |

| 64 | NHCONH(CH ₂) ₁₁ CH ₃ | HN NH ₂ | O NH2 |
|-----|---|--------------------------|-------------------|
| 69 | NHCONH(CH ₂) ₇ CH ₃ | HN NH ₂ | O NH ₂ |
| 70 | NHCONH(CH ₂) ₇ CH ₃ | O NH ₂ | O NH2 |
| 71 | NHCONH(CH ₂) ₇ CH ₃ | NH HN NH ₂ | O NH2 |
| 75 | NHCONH(CH ₂) ₁₀ CH ₃ | NBoc HN NHBoc | O NH2 |
| 76 | NHCONH(CH ₂) ₇ CH ₃ | HŅ OCH₃ | O NH2 |
| 77 | NHCONH(CH ₂) ₇ CH ₃ | HN N | O NH ₂ |
| 78 | NHCONH(CH ₂) ₇ CH ₃ | HN NO ₂ | O NH2 |
| 87 | NHCONH(CH ₂) ₁₁ CH ₃ | HN OCH ₃ | NH2 |
| 88 | NHCONH(CH ₂) ₁₁ CH ₃ | HN NO ₂ | O NH2 |
| 89 | NHCONH(CH₂)11CH3 | HN | O ZH |
| 100 | O HN (CH ₂) ₆ CH ₃ | NH ₂ | O NH2 |
| 106 | HN CI | O NH ₂ | O NH2 |
| 108 | NHCONH(CH ₂) ₁₀ CH ₃ | O NH ₂ | O NH2 |
| 113 | NHCONH(CH ₂) ₁₀ CH ₃ | IZ Z | O NH2 |
| 114 | NHCONH(CH ₂) ₁₀ CH ₃ | HN OCH ₃ | O NH2 |
| 115 | HN CF ₃ | NHBoc | O NH2 |

| 116 | HN CF3 | NH ₂ | O NH ₂ |
|-----|---|-----------------|--|
| 117 | NHCONH(CH ₂) ₈ CH ₃ | NHBoc | |
| 118 | NHCONH(CH ₂) ₈ CH ₃ | NH ₂ | O NH2 |
| 119 | NHCONH(CH ₂) ₉ CH ₃ | NHBoc | N N N N N N N N N N N N N N N N N N N |
| 120 | NHCONH(CH ₂) ₉ CH ₃ | NH ₂ | NH ₂ |
| 123 | NHCOCH ₂ S(CH ₂) ₁₁ CH ₃ | NH ₂ | \\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\ |
| 124 | NHCOCH ₂ S(CH ₂) ₁₀ CH ₃ | NH ₂ | D = |
| 125 | NHCOCH ₂ S(CH ₂) ₉ CH ₃ | NH ₂ | NH2 |

14. The compound of claim 13 wherein said compound is selected

from

| Cpd # | R | R^1 | R ² |
|----------|--|-----------------------|-------------------|
| 2 | NHCONH(CH ₂) ₁₁ CH ₃ | NH ₂ | |
| 3 | NHCONH(CH ₂) ₁₀ CH ₃ | HN NH ₂ NH | O NH ₂ |
| 18 | HN CI | NH ₂ | O NH ₂ |
| 48 | NHCONH(CH ₂) ₁₀ CH ₃ | NH ₂ | O NH ₂ |
| 89 | NHCONH(CH ₂) ₁₁ CH ₃ | HN N | O NH ₂ |

| 118 | NHCONH(CH ₂) ₈ CH ₃ | NH ₂ | 0 NH ₂ |
|-----|---|-----------------|-------------------|
| 120 | NHCONH(CH ₂) ₉ CH ₃ | NH ₂ | O NH2 |

- 15. A pharmaceutical composition comprising the compound according to any one of claims 1-4 and a pharmaceutically acceptable carrier.
- 16. A method of treating or preventing a bacterial infection in a subject, comprising the step of administering a therapeutically-effective amount of the pharmaceutical composition according to claim 15 to a subject in need thereof.
- 17. The method according to claim 16, wherein said subject is selected from the group consisting of a human, an animal, a cell culture or a plant.
- 18. The method according to claim 16, wherein said bacterial infection is caused by a gram-positive bacteria.
- 19. The method according to claim 18, wherein said bacteria is an antibiotic-resistant bacteria.
- 20. The method according to claim 19, wherein said antibiotic-resistant bacteria are resistant to an antibiotic selected from the group consisting of vancomycin, methicillin, glycopeptide antibiotics, penicillin and daptomycin.
- 21. The method according to claim 16, further comprising the step of co-administering more than one compound of Formula (I) to a subject in need thereof.
- 22 The method according to claim 16, further comprising the step of co-administering an antimicrobial agent other than a compound of Formula (I) to a subject in need thereof.

- 23. The method according to claim 22, wherein said antimicrobial agent is selected from the group consisting of penicillins and related drugs, carbapenems, cephalosporins and related drugs, aminoglycosides, bacitracin, gramicidin, mupirocin, chloramphenicol, thiamphenicol, fusidate sodium, lincomycin, clindamycin, macrolides, novobiocin, polymyxins, rifamycins, spectinomycin, tetracyclines, vancomycin, teicoplanin, streptogramins, anti-folate agents including sulfonamides, trimethoprim and its combinations and pyrimethamine, synthetic antibacterials including nitrofurans, methenamine mandelate and methenamine hippurate, nitroimidazoles, quinolones, fluoroquinolones, isoniazid, ethambutol, pyrazinamide, para-aminosalicylic acid (PAS), cycloserine, capreomycin, ethionamide, prothionamide, thiacetazone, viomycin, eveminomycin, glycopeptide, glycylcylcline, ketolides, oxazolidinone, imipenen, amikacin, netilmicin, fosfomycin, gentamicin, ceftriaxone, Ziracin, LY 333328, CL 331002, HMR 3647, Linezolid, Synercid, Aztreonam, and Metronidazole, Epiroprim, OCA-983, GV-143253, Sanfetrinem sodium, CS-834, Biapenem, A-99058.1, A-165600, A-179796, KA 159, Dynemicin A, DX8739, DU 6681; Cefluprenam, ER 35786, Cefoselis, Sanfetrinem celexetil, HGP-31, Cefpirome, HMR-3647, RU-59863, Mersacidin, KP 736, Rifalazil; Kosan, AM 1732, MEN 10700, Lenapenem, BO 2502A, NE-1530, PR 39, K130, OPC 20000, OPC 2045, Veneprim, PD 138312, PD 140248, CP 111905, Sulopenem, ritipenam acoxyl, RO-65-5788, Cyclothialidine, Sch-40832, SEP-132613, micacocidin A, SB-275833, SR-15402, SUN A0026, TOC 39, carumonam, Cefozopran, Cefetamet pivoxil, and T 3811.
- 24. The method according to claim 22, wherein said antimicrobial agent is selected from the group consisting of imipenen, amikacin, netilmicin, fosfomycin, gentamicin, ceftriaxone, teicoplanin, Ziracin, LY333328, CL331022, HMR3647, Linezolid, Synercid, Aztreonam and Metronidazole.
- 25. The method according to claim 17, wherein said subject is selected from the group consisting of a human or an animal.

human.

26. The method according to claim 25, wherein said subject is a

27. A compound having the formula (II):

wherein R¹⁴ is selected from the group consisting of

wherein R^{56} is an optionally substituted straight-chain $C_8\text{-}C_{14}$ alkyl group and wherein q' is 0-3.

28. The compound according to claim 27, wherein said compound is selected from:

| Compound # | R ¹⁴ |
|------------|--|
| 45 | ОН |
| 43 | , cH ₂) ₅ CH ₃ |
| 37 | OH (CH ₂) ₆ CH ₃ |
| 46 | OH pr ² /2 (CH ₂) ₇ CH ₃ |
| 38 | OH J ^z (CH ₂) ₈ CH ₃ |
| 47 | OH ,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,, |
| 39 | OH |

29. A compound having the formula (I'):

and salts thereof, wherein R^{100} , R^{101} and R^{102} are selected from:

| Cpd # | R | \mathbb{R}^1 | R ² |
|----------|---|-----------------|-------------------|
| 72 | O HN (CH ₂) ₈ CH ₃ | NHBoc | NH2 |
| 73 | O HN (CH ₂) ₁₁ CH ₃ | NHBoc | O NH2 |
| 74 | O OH HN (CH ₂) ₁₂ CH ₃ | NHBoc | O NH ₂ |
| 109 | NHCOCHCH(CH ₂) ₇ CH ₃ | NHBoc | O NH ₂ |
| 110 | NHCOCHCH(CH ₂) ₉ CH ₃ | NHBoc | O NH2 |
| 111 | NHCOCHCH(CH ₂) ₇ CH ₃ | NH ₂ | D = 1 |
| 112 | NHCOCHCH(CH ₂) ₉ CH ₃ | NH ₂ | 0 NH2 |

30. A method of using the compound according to any one of claims 27-29 to make a compound according to any one of claims 1-4.